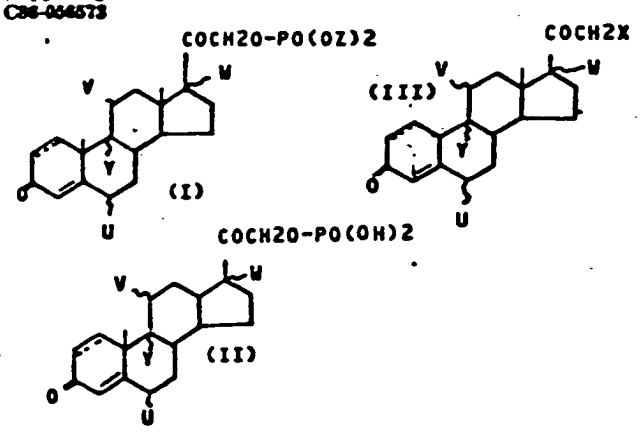
GERMANY, West

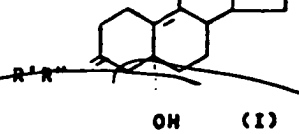
FARH ★ B01 **86-131962/21 ★DE 3440-794-A**
Prodn. of steroid 21-phosphate cpds. - via new steroid 21-phosphate ester derivs.
HOECHST AG 08.11.84-DE-440794
(18.05.86) C07J-05 C07J-51
08.11.84 as 440794 (36?KB)
Steroid 21-phosphate esters of formula (I) are new. In (I), U = H or Me; V = H, OH, O or halogen; W = H or OH; Y = H or F; Z = 1-8C alkyl opt. alpha-substd. by Ar and/or beta-substd. by a CN, nitrophenyl or 1-4C alkylsulphonyl gp. of by 1-3 Cl and/or Br atoms; Ar = phenyl opt. substd. by Cl, Br, CN or NO2.
Prodn. of steroid 21-phosphates of formula (II) is effected by reacting a 21-hydroxy or 21-halo steroid of formula (III) with a phosphate ester of formula Q.PO(OZ)2 (IV) to form (I), hydrolysing (I), and opt. converting the prod. to a salt. X = OH or halogen; Q = halogen or O.N(R)4; R = 1-8C alkyl, or one may be benzyl and/or H.
ADVANTAGE - The process is capable of producing (I) in highly pure form (cf. JA41-12351, US2932657, DE2225658 and GB1010031). (13pp Dwg.No.0/0)
C86-056573



ECKE/ ★ B05 **86-125866/20 ★DE 3440-141-A**
Carbonic acid bis-tri:chloromethyl ester - Le. tri:phosgene as a phosgene pro:reagent e.g. in the prodn. of isocyanate(s) or peptide coupling reagents
ECKERT H 02.11.84-DE-440141
A41 E16 (07.05.86) C07b-61 C07c-51/60 C07c-68/02 C07c-118/02 C07c-119/02 C07c-125/03
02.11.84 as 440141 (280JP)
Use of carbonic acid bis-trichloromethyl ester as a pro-reagent for phosgene is new.
USE/ADVANTAGE - In chemical synthesis acid is pref. used in the prodn. of diisocyanate (pref. toluylene diisocyanate or 4,4'-diisocyanato-diphenylmethane), monoisocyanates, polycarbonate plastics, chloroformic acid esters, chloroformic acid amides, coupling reagents for peptide chemistry (pref. 2-morpholino-ethyl isocyanide or bis-imidyl-carbonates), carbodiimides, isocyanides, alpha-isocyano carboxylic acid derivs, carboxylic acid chlorides, diacylamines and chlorocarbonyl isocyanates, as well as in the prodn. of pure metal chlorides. Bis-trichloromethyl carbonate (triphosgene) is a solid (m. pt. 75 deg. C) which can be distilled undecomposed at 203-? deg. C and which is unaffected by conc. H2SO4 or cold NaOH solution. Because of its low volatility, the cpd. is simpler to use than the volatile and toxic phosgene, and reacts in stoichiometric amounts (no excess required) to give generally high product yields. (17pp Dwg.No.0/0)
C86-063679

BAKH/ ★ B04 **86-119935/19 ★DE 3439-914-A**
Hair tonic for oral admin. - comprising rice husk extract
BAKHTIARIHAFTLANGI 29.10.84-DE-439914
DH (30.04.86) A61k-07/06 A61k-31/44 A61k-35/78
29.10.84 as 439914 (367NS)
Orally administerable compsns. for stimulating hair growth and inhibiting hair loss comprise an extract of rice "silver skins" (i.e. fruit and seed husks plus aleurone layer).

SCHD ★ B01 **86-137952/22 ★DE 3438-500-**
11-Beta-substd. 19-nor:steroid-9-ene prepn. - by reacting corres alpha-epoxide with organo copper complex to give prod. used synthetic aid for pharmaceutical steroid derivs.
SCHERING AG 18.10.84-DE-438500
(28.05.86) C07J-01 C07J-51
18.10.84 as 438500 (047JP)
Prepn. of 11-beta-substd. 19-norsteroid-9-enes of formula (comprises reaction of corresp. 9(11)-ene 5-alpha, 10-alpha-epoxid with an oraganocopper complex which is formed in situ by addn. Li metal to a suspension of Cu(I)CN and a halide RX, in an ine organic solvent at temps. -70 to +25 deg. In (I), R is an organic g attached to position 11 by a C to C bond; and R'R is the rest of a ket gp., esp. ethylenedioxy or 2,2-diemthyltrimethylene-dioxy, or thioketal, oxime or methyloxime gp.; and X is Cl, Br or I.
USE - The process is a valuable synthetic aid for pharmaceutic steroid derivs.. (3pp Dwg.No.0/0)
C86-058994



GLAX ★ B02 **81-27745D/16 = DE 3037-104-**
Stable crystalline bis-hydrochloride of ceftazidime - (6R,7R)-7-(Z)-2-amino:thiazole-4-yl carboxy prop-2-oxy-imino-acetamid pyridinium-methyl ceph-3 em-4-carboxylate bis-hydroxy-chloride
GLAXO GROUP LTD 02.10.79-GB-034203
*(30.04.86) *BE-885488-A A61k-31/54 C07d-501/46*
01.10.80 as 037104 (047CJ)
(6R,7R)-7-((Z)-2- (2-aminothiazole-4-yl)-2- (2-carboxypropoxy imin acetylamino)-3-(pyridinium-1-yl-methyl) ceph-3-em-4-carboxyla dihydrochloride (I) is obtd. in a new crystalline form, having w defined Debye-Scherrer X-ray diffraction patterns (using CoKalph radiation), with characteristic d-spacing and relative intensiti Prepn. of cpd. (I) comprises crystallisation of the dihydrochlor from acetone/HCOOH, methylated spirit, or MeOH/PrOH/isoP mixts.
ADVANTAGE - Cpd. (I) is a highly active antibiotic which resistant to beta-lactamase. (7pp)

CHIN ★ B05 **78-38572A/22 ★DE 2800-808-**
Synthetic analogues of prostaglandin cpds. - with 17-aza group fo controlling gastric secretion and dispersing aggregated bloo platelets
CHINOIN GYOGYSZER 20.01.78-BE-863116 (10.01.78-DE-800808
*C03 (07.05.86) *BE-863116-A A61k-31/55 C07c-177*
10.01.78 as 800808 (922KB)
Novel racemic or optically active 17-aza-PGF (2 alpha) derivs. are c formula (I) (where R1 is H or (trihalo) (1-4C) alkanoyl opt. substc benzoyl, lower alkoxycarbonyl or trihalo-alkoxycarbonyl op substd. phenoxycarbonyl or benzyl oxycarbonyl, R2 is H or 1-4 alkyl and Q is H, 1-4C alkyl or a non-toxic cation). One of 3 claime prepn. methods involves reacting (II) (where R4 is H or a protectiv gp. generally used in prostaglandin chemistry) with a phosphoran derived from a triphenyl-(4-carboxybutyl) phosphonium salt.
USE/ADVANTAGE - (I) have a no. of therapeutic uses includin smooth muscle stimulating activity (e.g. to induce labour, abo foetuses, control menstruation) and increasing lipolysis epididimal fatty tissue (more than natural catecholamine). (11pp)